

CLAIMS:

26

~~Claims:~~

- 5 1. A solid pharmaceutical composition for parenteral injection comprising a binder and at least one therapeutic agent, said binder constituting at least 0.5% by weight of the composition and said binder comprising at least one binding agent being a carbohydrate, and optionally at least one non-crystallisation agent, whereby said binder forms an amorphous matrix, and the amount of said therapeutic agent consisting at least one dosage.
- 10 2. The composition according to claim 1, wherein the binder constitutes from 5-60% by weight of the composition
- 15 3. The composition according to claim 1, wherein the binder essentially remains an amorphous matrix for at least 6 months at ambient temperature.
- 20 4. The composition according to claim 1, wherein the binder can endure a pressure force of at least 10 Newton.
5. The composition according to claim 1, wherein the composition can endure a pressure force of at least 5 Newton.
- 25 6. The composition according to claim 1, wherein at least 95 % of the strength of the composition is maintained after 6 months at ambient temperature.
7. The composition according to claim 1, wherein the composition is essentially free from entrapped air.
- 30 8. The composition according to claim 1, being a pellet wherein the cross section of the pellet is substantially cylindrical, triangular, square, or polygonal.
9. The composition according to claim 1, having the shape of a rod essentially cylindrical and pointed at one end.
- 35 10. The composition according to claim 9, wherein the top angle of the rod is between 10 and 110°.

11. The composition according to claim 8 or 9, wherein the maximum cross section of the pellet is less than 1 mm.
- 5 12. The composition according to claim 9, whereby the length of the rod is less than 10 mm.
13. The composition according to claim 1, wherein the volume of the composition is less than 5 μ l.
- 10 14. The composition according to claim 1, wherein the composition can penetrate the epidermis of a human being with a force less than 5 Newton.
- 15 15. The composition according to claim 1, wherein the therapeutic agent comprises at least 25 % by weight of the composition.
16. The composition according to claim 1, wherein the binder comprises at most 50 % by weight of the composition.
- 20 17. The composition according to claim 1, wherein the at least one binding agent comprises from 50 and 97 % by weight of the binder.
18. The composition according to claim 1, wherein the at least one non-crystallisation agent comprises at least 1 % by weight of the binder.
- 25 19. The composition according to claim 1, wherein the water content of the binder is less than 20 % by weight.
- 30 20. The composition according to claim 1, wherein the at least one binding agent being a carbohydrate is a mono-, di-, or oligosaccharide or a corresponding sugar alcohol or a derivative thereof.
21. The composition according to claim 20, wherein the at least one binding agent is selected from maltose, sucrose, lactose, cellobiose, trehalose, maltulose, iso-maltulose, maltitol, sorbitol, mannitol, glucose, fructose, raffinose, melezitose,
- 35

00240-2809560

Sub
A.8

dextran, mannose, sorbose, melibiose, sophrose, turanose, lactulose, stachyose.

5 22. The composition according to claim 1, wherein the at least one non-crystallisation agent is a carbohydrate, said carbohydrate being different from the binding agent.

10 23. The composition according to claim 22, wherein the at least one non-crystallisation agent is a mono-, di-, or oligosaccharide, a corresponding sugar alcohol, or a derivative thereof.

15 24. The composition according to claim 22, wherein the at least one non-crystallisation agent is selected from maltose, sucrose, lactose, cellobiose, trehalose, maltulose, iso-maltulose, maltitol, sorbitol, mannitol, glucose, fructose, raffinose, melezitose, dextran, mannose, sorbose, melibiose, sophrose, turanose, lactulose, stachyose.

20 25. The composition according to claim 1, wherein the binding agent is selected from maltitol, sucrose, sorbitol, and mannitol and the non-crystallisation agent is selected from sorbitol, maltitol, and mannitol.

25 ~~26. The composition according to claim 1, wherein the binding agent is maltitol and the non-crystallisation agent is sorbitol, and/or sugar alcohols of maltotriose and higher oligosaccharides.~~

27. The composition according to claim 1, wherein the T_g (glass transition temperature) of the binder is at least 30°C.

30 28. The composition according to claim 1, wherein T_g of the binder is from 40 to 120°C.

35 ~~29. The composition according to claim 1, wherein the viscosity of the composition is less than 50,000 Pa*s in a sub-range of the temperature interval between 60 and 140°C.~~

09550957-04

Sub
A6

Sub
A6

30. The composition according to claim 1, wherein the composition is injection mouldable in a sub-range of the temperature interval between 60 and 140°C.

5 31. The composition according to claim 1, wherein at least 50% of the therapeutic agent is released from the composition within 60 min after injection.

10 32. The composition according to claim 1, wherein the therapeutic agent is selected from analgesics, antianxiety drugs, antiarthritic drugs, antibiotic agents, anticholinergics, antidepressants, antidiabetics, antiemetics, antihistaminics, antihypertensive agents, antiinflammatory drugs, antimigraine agents, antiparkinsonism agents, antipasmodics, antipsychotics, antithrombotic agents, antiviral agents, appetite suppressants, blood factors, cardiovascular drugs, cerebral vasodilators, chemotherapeutic drugs, cholinergic agonists, contraceptives, coronary agents, diuretics, hormonal agents, immunosuppressive agents, growth factors, 15 narcotic antagonists, opioids, peripheral vasodilators, tranquilizers, vaccines, immunogenic agents, and immunising agents.

20 33. The composition according to claim 1, wherein the therapeutic agent is selected from hormones, lipids, nucleic acids, nucleotides, oligonucleotides, oligosaccharides, organics, peptide mimetics, antibodies, peptides, polysaccharides, and proteins.

25 34. The composition according to claim 1, wherein the therapeutic agent is selected from proteins, peptides, and polypeptides, said protein, peptide, or polypeptide being amorphous or crystalline.

30 35. The composition according to claim 1, wherein the therapeutic agent is selected from hormones, antidiabetic drugs, growth factors, and blood factors, preferably being a protein selected from insulin, glucagon, growth hormone, growth factor such as FVII and FVIII, GLP-1, EPO, TPO, interferon or derivatives of these proteins.

35 36. The composition according to claim 1, wherein the binder does not reduce the stability of the therapeutic agent.

00240-25805560

Sub
C7

37. The composition according to claim 1, wherein the at least one binding agent and the at least one non-crystallisation agent are non-reducing sugars.

5 38. The composition according to claim 1, further comprising an additive selected from the group of preservatives, adjuvants, stabilisers, lubricants, and disintegraters.

10 39. The composition according to claim 1, wherein the composition is provided with a coating.

15 40. A method for preparing a solid pharmaceutical composition for parenteral injection comprising, mixing at least one therapeutic agent homogeneously with a binder, obtaining an amorphous melt matrix, whereby the binder comprises at least one binding agent being a carbohydrate and optionally at least one non-crystallisation agent, said binder constituting at least 0.5% by weight of the composition, shaping the melt to a predetermined geometry, cooling to below the Tg of the binder obtaining the composition, optionally removing the composition from the mould cavity.

20 41. The method according to claim 40, whereby the melt is injected into a mould cavity having a predetermined geometry.

25 42. The method according to claim 40, optionally further comprising a heating step to obtain the amorphous matrix prior to mixing the composition.

30 43. The method according to claim 40, whereby prior to melting the binder is dissolved in a solvent, dried, preferentially by freeze drying, obtaining a solid amorphous matrix, and optionally disintegrating the binder into a powder.

35 44. The method according to claim 40, whereby the binder and the at least one therapeutic agent are mixed homogeneously as powders and melted to form the melt afterwards.

45. The method according to claim 43, whereby the solvent is water.

Sub
A2

002740 25805560

46. The method according to claim 40, whereby the water content of the composition is less than 20 % by weight.
47. The method according to claim 40, whereby the Tg of the binder is at least 30°C.
48. The method according to claim 40, whereby the viscosity of the composition is less than 50,000 Pa*s in a sub-range of the temperature interval between 60 and 140°C.
49. The method according to claim 40, whereby the steps of the method are carried out essentially aseptically.
50. The method according to claim 40, whereby the composition is moulded as the second part in a two component moulding machine.
51. The method according to claim 50, whereby a cartridge constituting the mould cavity is moulded as the first part in a two component moulding machine.
52. A method of injecting a solid pharmaceutical composition through epidermis or mucosa comprising arranging a device comprising the solid composition adjacent the epidermis or mucosa and ejecting the solid composition.
53. The method according to claim 52, whereby the animal is selected from the group of fish, birds, molluscs, reptiles, or mammals including man.
54. The method according to claim 52, whereby the composition is injected at least once a day.
55. The method according to claim 52 for immunisation.
56. A device containing at least one solid pharmaceutical composition as defined by claim 1 for parenteral injection, said device being adapted to inject the composition through epidermis or mucosa.

5

10

15

20

25

30

35

as

002740 25805560

57. A device according to claim 56, containing at least 10 compositions.

5 58. A device according to claim 56, wherein the compositions are sealed.

add
a9

00240 29809560